Enhancing Chemosensitivity in ABCB1- and ABCG2-Overexpressing Cells and Cancer Stem-Like Cells by An Aurora Kinase Inhibitor CCT129202
Corresponding author: Liwu Fu, State Key Laboratory of Oncology in South China, Cancer Center, Sun Yat-Sen University, Guangzhou, 510060, China. E-mail: fulw@ mail.sysu.edu.cn; or Chao Cheng,The First Affiliated Hospital of Sun Yat-Sen University, E-mail: drchengchao@yahoo.com.cn

Supplementary table S1. Effect of CCT129202 on reversing ABCB1-mediated MDR in KBv200

| cells with different expression of ABCB 1 |  |  |  |  |
| :--- | :---: | :---: | :---: | :---: |
| $\mathbf{K B v 2 0 0}$ cells | $\mathbf{I C}_{\mathbf{5 0}} \pm \mathbf{S D}(\boldsymbol{\mu M}$; fold-reversal) |  |  |  |
|  | Doxorubicin | fold-reversal 1 | Doxorubicin+0.5 $\boldsymbol{\mu} \mathbf{M C C T 1 2 9 2 0 2}$ | fold-reversal 2 |
| control | $4.326 \pm 1.190$ | $(1.00)$ | $1.072 \pm 0.041^{* *}$ | $(4.04)$ |
| NC-siRNA | $4.625 \pm 0.536$ | $(0.94)$ | $1.277 \pm 0.183^{* *}$ | $(3.39)$ |
| ABCB1-siRNA-1 | $4.476 \pm 0.422$ | $(0.97)$ | $1.254 \pm 0.329^{* *}$ | $(3.45)$ |
| ABCB1-siRNA-2 | $1.345 \pm 0.162^{* *}$ | $(3.22)$ | $0.740 \pm 0.076^{* *}$ | $(5.84)$ |
| ABCB1-siRNA-3 | $1.191 \pm 0.122^{* *}$ | $(3.63)$ | $0.765 \pm 0.071^{* *}$ | $(5.65)$ |

NOTE: "fold-reversal 1" $=\mathrm{IC}_{50}$ of control/ $\mathrm{IC}_{50}$ of cells treated with doxorubicin alone; "fold-reversal 2" $=\mathrm{IC}_{50}$ of control / $\mathrm{IC} \mathrm{C}_{50}$ of cells treated with doxorubicin $+0.5 \mu$ MCCT129202. Cell survival was performed by MTT assay as described in Materials and Methods. Data are shown as the mean $\pm$ standard deviation (SD) of at least three independent experiments performed in triplicate. ${ }^{* *}, p<0.01$ for values versus that obtained in the absence of CCT129202 in KBv200 cells. This material is available free of charge via the Internet at http://pubs.acs.org

## Supplementary table S2 The clinical characteristics of 20 patients with esophageal carcinomas in TECIA study

| NO. | Sex | Age (years) | Tumor <br> location | Histological grading | TNM <br> classification | Expression of ABCB1 | Inhibit Rate (\%) |  |  |
| :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: | :---: |
|  |  |  |  |  |  |  | Paclitaxel | CCT129202 | CCT129202+Paclitaxel |
| 1 | Male | 55 | Middle | PD | T3N0M0 | Negative | $79.5 \pm 17.5$ | $-7.0 \pm 10.8$ | $82.2 \pm 12.7$ |
| 2 | Female | 62 | Middle | PD | T3N0M0 | Positive (++) | $23.0 \pm 9.8$ | $3.0 \pm 5.7$ | $59.9 \pm 12.6$ |
| 3 | Male | 69 | Middle | PD | T3N2M0 | Negative | $53.8 \pm 14.2$ | $5.3 \pm 2.5$ | $50.1 \pm 4.3$ |
| 4 | Male | 69 | Middle | MD | T2N0M0 | Negative | $48.9 \pm 14.6$ | $27.1 \pm 11.2$ | $46.3 \pm 22.3$ |
| 5 | Male | 54 | Lower | MD | T3N0M0 | Negative | $57.7 \pm 13.8$ | $-5.0 \pm 5.42$ | $49.9 \pm 18.4$ |
| 6 | Male | 74 | Lower | MD | T3N0M0 | Negative | $44.8 \pm 1.7$ | $2.3 \pm 7.9$ | $32.8 \pm 22.7$ |
| 7 | Male | 55 | Lower | MD | T3N2M0 | Negative | $77.6 \pm 20.6$ | $8.3 \pm 9.2$ | $90.9 \pm 30.3$ |
| 8 | Female | 68 | Middle | MD | T3N1M0 | Negative | $3.4 \pm 3.2$ | $3.76 \pm 8.7$ | $44.3 \pm 14.0$ |
| 9 | Male | 50 | Lower | MD | T3N1M0 | Positive (+++) | $24.3 \pm 24.0$ | $-5.1 \pm 8.4$ | $73.5 \pm 5.9$ |
| 10 | Female | 48 | Middle | MD | T3N1M0 | Negative | $87.4 \pm 14.0$ | $37.6 \pm 17.6$ | $97.1 \pm 4.4$ |
| 11 | Male | 67 | Lower | MD | T3N0M0 | Negative | $83.9 \pm 16.2$ | $17.9 \pm 2.1$ | $72.1 \pm 16.7$ |
| 12 | Male | 58 | Middle | WD | T3N0M0 | Negative | $46.3 \pm 11.8$ | $10.8 \pm 3.9$ | $72.4 \pm 22.8$ |
| 13 | Male | 66 | Lower | MD | T2N2M0 | Negative | $42.4 \pm 27.0$ | $30.1 \pm 5.2$ | $60.9 \pm 26.1$ |
| 14 | Male | 67 | Middle | WD | T3N0M0 | Negative | $22.7 \pm 3.9$ | $24.3 \pm 9.4$ | $45.4 \pm 9.9$ |
| 15 | Male | 47 | Lower | MD | T3N0M0 | Negative | $39.4 \pm 9.2$ | $14.1 \pm 11.8$ | $60.8 \pm 30.4$ |
| 16 | Male | 70 | Middle | MD | T3N0M0 | Positive ( + ) | $9.70 \pm 5.0$ | $4.3 \pm 2.0$ | $61.2 \pm 29.8$ |
| 17 | Male | 44 | Middle | WD | T3N0M0 | Negative | $42.2 \pm 10.5$ | $5.3 \pm 10.2$ | $54.4 \pm 6.2$ |
| 18 | Male | 76 | Middle | MD | T3N0M0 | Negative | $75.2 \pm 3.3$ | $27.8 \pm 4.3$ | $92.0 \pm 4.6$ |
| 19 | Female | 58 | Middle | MD | T3N0M0 | Negative | $50.8 \pm 28.2$ | $-3.1 \pm 7.3$ | $83.0 \pm 16.7$ |
| 20 | Male | 47 | Middle | PD | T3N2M0 | Negative | $91.4 \pm 9.05$ | $-6.9 \pm 3.8$ | $91.2 \pm 14.3$ |

NOTE: Tumor histoculture end-point staining computer-image-analysis (TECIA) was performed as described in Materials and Methods section. Experiments were performed in quadruplicate and inhibition rate was shown as mean $\pm$ SD. Positive staining of IHC was graded as follows: ( + ) low-intensity; (++) moderate-intensity; (+++) high-intensity. PD: poorly differentiated; WD: well differentiated; MD: moderately differentiated. This material is available free of charge via the Internet at http://pubs.acs.org

